

RUSH

Access DB# 94564

## SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Kahsay Habte Examiner #: 78271 Date: May 20, 2003  
 Art Unit: 1024 Phone Number 308-4715 Serial Number: 10/088,088  
 Mail Box and Bldg/Room Location: \_\_\_\_\_ Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

\*\*\*\*\*  
 Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: Amide Compounds

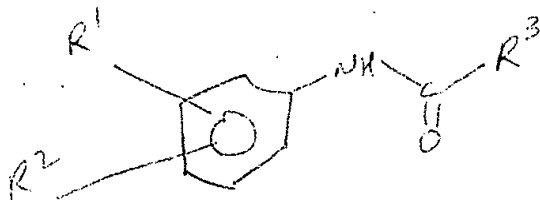
Inventors (please provide full names): \_\_\_\_\_

Point of Contact:

Susan Hanley  
 Technical Info. Specialist  
 CM16B05 Tel: 305-4053

Earliest Priority Filing Date: 10/01/1994

\*For Sequence Searches Only\* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.



$R^3 =$  phenyl  
 sub with

$R^1 =$  imidazolyl



$R^2 =$  H, lower alkyl

$R^3 =$  sub with

$R^3 =$  sub with

$R^3 =$    
 $X = C$   
 $X = N$

$R^3 =$  sub with

\* Apts elected  
 $R^1 =$  imidazolyl

## STAFF USE ONLY

	Type of Search	Vendors and cost where applicable
Searcher: <u>Hanley</u>	NA Sequence (#) _____	STN <u>7310</u>
Searcher Phone #: _____	AA Sequence (#) _____	Dialog _____
Searcher Location: _____	Structure (#) <u>1</u>	Questel/Orbit _____
Date Searcher Picked Up: <u>5/20</u>	Bibliographic _____	Dr. Link _____
Date Completed: <u>5/21</u>	Litigation _____	Lexis/Nexis _____
Searcher Prep & Review Time: <u>30</u>	Fulltext _____	Sequence Systems _____
Clerical Prep Time: _____	Patent Family _____	WWW/Internet _____
Online Time: <u>45</u>	Other _____	Other (specify) _____

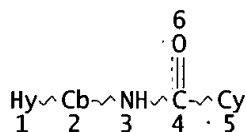
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2	61	(548/312.1, 548/314.7, 548/315.1, 548/338.1, 514/397, 514/399) and SHT	USPAT	2003/05/21 14:48
3	173	(548/312.1, 548/314.7, 548/315.1, 548/338.1, 514/397, 514/399) and 5-HT\$	USPAT	2003/05/21 14:48

search in Registry & Ca old

HABTE 10/088,088

=> d que 131  
L14

STR



NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

GGCAT IS MCY UNS AT 1

GGCAT IS MCY UNS AT 2

DEFAULT ECLEVEL IS LIMITED

ECOUNT IS E3 C E2 N AT 1

ECOUNT IS E6 C AT 2

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

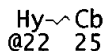
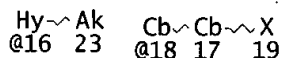
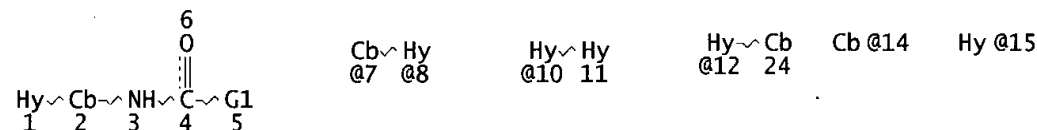
NUMBER OF NODES IS 6

STEREO ATTRIBUTES: NONE

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ID AND NRS>2 AND N>2 AND O/ELS NOT PMS/CI

L19 781 SEA FILE=REGISTRY SUB=L16 SSS FUL L14

L25 STR



VAR G1=7/8/10/12/14/15/16/18/22

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

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GGCAT IS MCY UNS AT 2

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DEFAULT ECLEVEL IS LIMITED

ECOUNT IS E3 C E2 N AT 1

ECOUNT IS E6 C AT 2

ECOUNT IS E6 C AT 7

ECOUNT IS E4 C E1 S AT 8

same str  
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HABTE 10/088,088

ECOUNT IS E4 C E1 S AT 10  
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GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 21

STEREO ATTRIBUTES: NONE

L26 102 SEA FILE=REGISTRY SUB=L19 SSS FUL L25

~~L31~~ ~~0 SEA FILE=CAOLD ABB=ON PLU=ON L26~~

*102 cpds*

*no hits in Caold*

d:ibib-abs-hitstr-130-1-12

L30 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:947029 CAPLUS

DOCUMENT NUMBER: 138:24705

TITLE: Preparation of spiroisindolinepiperidinecarboxamides, spirocyclohexaneisobenzofurancarboxamides, spiroazaisobenzofurancyclohexancarboxamides, and related compounds as neuropeptide Y antagonists.

INVENTOR(S): Fukami, Takehiro; Kanatani, Akio; Ishihara, Akane; Ishii, Yasuyuki; Takahashi, Toshiyuki; Haga, Yuji; Sakamoto, Toshihiro; Itoh, Takahiro

PATENT ASSIGNEE(S): Japan

SOURCE: U.S. Pat. Appl. Publ., 53 pp., Cont.-in-part of U.S. Pat. Appl. 2002 52,371.

CODEN: USXXCO

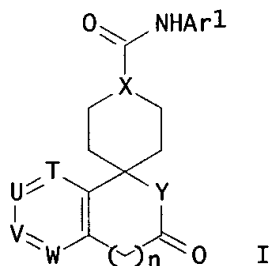
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002188124	A1	20021212	US 2002-92549	20020308
JP 2003104884	A2	20030409	JP 2002-271261	20000817
US 6326375	B1	20011204	US 2000-640784	20000818
US 6335345	B1	20020101	US 2001-928431	20010814
US 2002052371	A1	20020502	US 2001-983598	20011025
US 6388077	B2	20020514		
US 6462053	B1	20021008	US 2002-101221	20020320
US 2002165391	A1	20021107		
US 2003055251	A1	20030320	US 2002-226225	20020823
PRIORITY APPLN. INFO.:			JP 1999-233573	A 19990820
			JP 2000-137692	A 20000510
			US 2000-640784	A3 20000818
			US 2001-983598	A2 20011025
			JP 2000-247145	A3 20000817
			US 2002-101221	A3 20020320

OTHER SOURCE(S): MARPAT 138:24705  
GI

AB Title compds. [I; Ar1 = (substituted) aryl, heteroaryl, QAr2; Ar2 = (substituted) aryl, heteroaryl; Q = bond, CO; T, U, V, W = N, (substituted) CH; X = CH, CH(OH); Y = (substituted) imino, O], were prepd. Thus, N-tert-butoxycarbonyl-4-piperidone was refluxed 3 h with PhCH2NH2 in

PhMe to give a residue which was stirred with o-iodobenzoyl chloride, and Et<sub>3</sub>N in PhMe at 80.degree. for 2 h to give N-benzyl-N-(1-tert-butoxycarbonyl-1,2,3,6-tetrahydropyridin-4-yl)-2-iodobenzamide. The latter was heated with Pd(OAc)<sub>2</sub>, Ph<sub>3</sub>P, K<sub>2</sub>CO<sub>3</sub>, and Et<sub>4</sub>NCl in MeCN at 80.degree. for 6 h to give 2-benzyl-1'-tert-butoxycarbonyl-1',6'-dihydrospiro[1H-isoindole-1,4'(5'H)-pyridine]-3(2H)-one. This was converted to N-(4-benzoylphenyl)-3-oxospiro[isoindoline-1,4'-piperidine]-1'-carboxamide (II), which inhibited [125I]neuropeptide Y binding to NPY Y<sub>5</sub> receptors with IC<sub>50</sub> = 1.2 nM. II drug formulations are given.

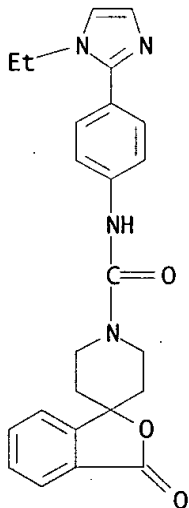
IT 328232-32-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of spiroisoindolinepiperidinecarboxamides, spirocyclohexaneisobenzofurancarboxamides, spiroazaisobenzofurancyclohexanecarboxamides, and related compds. as neuropeptide Y antagonists)

RN 328232-32-2 CAPLUS

CN Spiro[isobenzofuran-1(3H),4'-piperidine]-1'-carboxamide, N-[4-(1-ethyl-1H-imidazol-2-yl)phenyl]-3-oxo- (9CI) (CA INDEX NAME)



L30 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:10470 CAPLUS

DOCUMENT NUMBER: 136:85810

TITLE: Preparation of arylamides and heterocyclamides as factor Xa inhibitors for treatment of thromboembolic disorders

INVENTOR(S): Quan, Mimi L.; Lam, Patrick Y.; Li, Yunlong; Pinto, Donald J. P.

PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA

SOURCE: PCT Int. Appl., 192 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2002000651 A2 20020103 WO 2001-US20538 20010627  
 WO 2002000651 A3 20020613

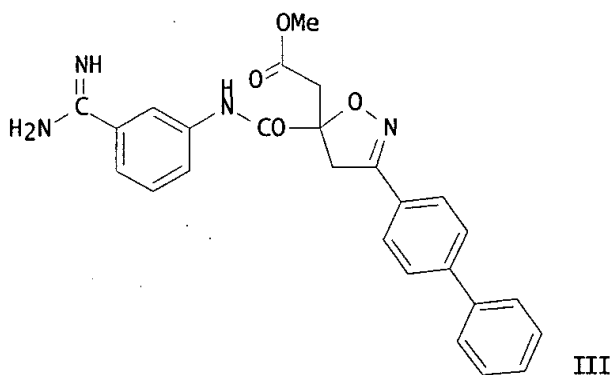
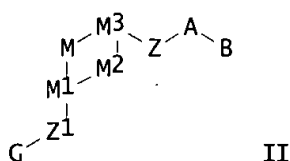
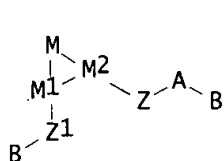
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PRIORITY APPLN. INFO.: US 2000-214758P P 20000627

US 2000-246124P P 20001106

OTHER SOURCE(S): MARPAT 136:85810

GI



AB Title compds. I and II [wherein ring M, including M1, M2, and, if present, M3 = 5-membered arom. heterocycle substituted with 0-2 R1a; or ring M = isoxazoline, isothiazoline, pyrazoline, triazoline, or tetrazoline substituted with 0-2 R1a; R1a = H, (un)substituted alkyl, alkenyl, amino, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, amido, alkoxycarbonylamino, aminocarboxy, etc.; G = 5-6 membered (hetero)cycle optionally fused to Ph, pyridyl, pyrimidyl, pyrazinyl, or pyridazinyl substituted with 0-2 R; R = H, alkyl, halo, OH, alkoxy, CN, (un)substituted carboximidamido, (alkyl)amino, OCF3, etc.; Z = a bond, (un)substituted (CH2)1-4, (CH2)pO(CH2)q, (CH2)pCO(CH2)q, (CH2)pOCO(CH2)q, (CH2)pCO2(CH2)q, (CH2)pNH(CH2)q, etc.; p + q = 0-2; Z1 = (un)substituted (CH2)1-5, (CH2)0-2CH=CH(CH2)0-2, (CH2)0-2C.tplbond.C(CH2)0-2, (CH2)uCO(CH2)w, (CH2)uCO2(CH2)w, (CH2)uO(CH2)w, (CH2)uNH(CH2)w, etc.; u + w = 0-4; A = (un)substituted carbocycle or heterocycle; B = H, Y, or XY; X = (un)substituted (CH2)1-4, CO, C(NH), CH(NH2), CH(OH), CH(SH), COCH2, CH2CO, S, SO, SO2, NHCO, CONH, O, etc.; Y = (un)substituted carbocycle or heterocycle] were prepd. as inhibitors of trypsin-like serine protease enzymes, esp. factor Xa. For example, 4-biphenylcarboxaldehyde oxime

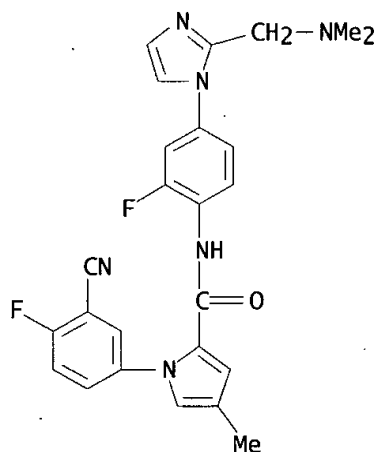
(prepn. given) was treated with itaconic acid monomethyl ester and bleach in THF to give 3-([1,1']-biphen-4-yl)-5-(carbomethoxymethyl)isoxazolin-5-ylcarboxylic acid (84%). Amidation with 3-cyanoaniline (28%), followed by conversion to the amidine and elution with TFA, afforded III.bul.TFA. Some of the invention compds. inhibited factor Xa with  $K_i$  values of  $10^{-10}$  M. Thus, I and II are useful as anticoagulant agents for treatment and prevention of thromboembolic disorders.

IT 385831-50-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(intermediate; prepn. of arylamides and heterocyclamides as factor Xa inhibitors for treatment of thromboembolic disorders)

RN 385831-50-5 CAPLUS

CN 1H-Pyrrole-2-carboxamide, 1-(3-cyano-4-fluorophenyl)-N-[4-[2-[(dimethylamino)methyl]-1H-imidazol-1-yl]-2-fluorophenyl]-4-methyl- (9CI)  
(CA INDEX NAME)



L30 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:851122 CAPLUS

DOCUMENT NUMBER: 135:371759

TITLE: Preparation of N-imidazolylphenyl-5,6-dihydrobenzo[h]quinazolin-4-amines and other N-containing heterocyclic amines as 5-hydroxytryptamine antagonists for treatment of CNS disorders

INVENTOR(S): Yamada, Akira; Spears, Glen; Hayashida, Hisashi; Tomishima, Masaki; Ito, Kiyotaka; Imanishi, Masashi

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 154 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001087845	A2	20011122	WO 2001-JP4002	20010514
WO 2001087845	A3	20020829		

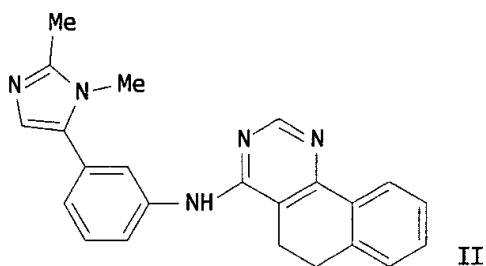
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 HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU,  
 LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD,  
 SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU,  
 ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: AU 2000-7501 A 20000515  
 AU 2000-1955 A 20001207

OTHER SOURCE(S): MARPAT 135:371759  
 GI

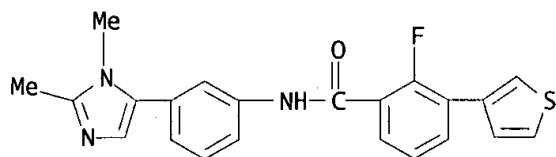


AB Title compds. AMQNHZ [I; wherein A = H, (un)substituted, unsatd., N-contg. heterocyclic group, or C(NH)NHR; R = (un)substituted aryl or heterocyclic group; M = (CH<sub>2</sub>)<sub>n</sub>, (CH<sub>2</sub>)<sub>n</sub>O(CH<sub>2</sub>)<sub>m</sub>, or (CH<sub>2</sub>)<sub>n</sub>NH(CH<sub>2</sub>)<sub>m</sub>; n and m = independently 0-2; Q = (un)substituted cycloalkylene group, arylene, or divalent heterocyclic group; Z = (un)substituted, unsatd., mono-, di-, tri-, or tetra-cyclic, N-contg. heterocyclic group which may contain addnl. N, O, and S atoms as the ring member(s), e.g. indeno[1,2,3-de]phthalazinyl or 5,6-dihydrobenzo[h]quinazolinyl; and the prodrugs or pharmaceutically acceptable salts thereof] were prepd. For example, a mixt. of 4-chloro-5,6-dihydrobenzo[h]quinazoline, 3-(1,2-dimethyl-1H-imidazol-5-yl)aniline, and 1,3-dimethyl-2-imidazolidinone was heated for an hour at 200.degree.C, cooled, treated with 1N aq. NaOH and water, and worked up to give II. I are 5-hydroxytryptamine (5-HT) antagonists useful for the prevention and/or treatment of central nervous system (CNS) disorders, such as anxiety, depression, obsessive compulsive disorders, migraine, anorexia, Alzheimer's disease, sleep disorders, bulimia, panic attacks, withdrawal from drug abuse, schizophrenia, and disorders assocd. with spinal trauma and/or head injury (no data).

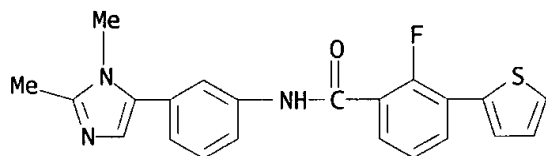
IT 374554-94-6P, N-[3-(1,2-Dimethyl-1H-imidazol-5-yl)phenyl]-2-fluoro-3-(3-thienyl)benzamide 374554-95-7P, N-[3-(1,2-Dimethyl-1H-imidazol-5-yl)phenyl]-2-fluoro-3-(2-thienyl)benzamide  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; prepn. of N-(imidazolylphenyl)dihydrobenzo[h]quinazolinamines and other N-contg. heterocyclic amines as 5-hydroxytryptamine antagonists for treatment of CNS disorders)

RN 374554-94-6 CAPLUS

CN Benzamide, N-[3-(1,2-dimethyl-1H-imidazol-5-yl)phenyl]-2-fluoro-3-(3-thienyl)- (9CI) (CA INDEX NAME)



RN 374554-95-7 CAPLUS  
 CN Benzamide, N-[3-(1,2-dimethyl-1H-imidazol-5-yl)phenyl]-2-fluoro-3-(2-thienyl)- (9CI) (CA INDEX NAME)



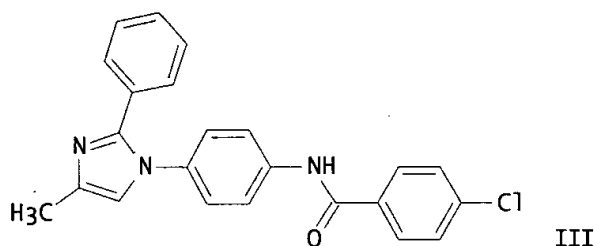
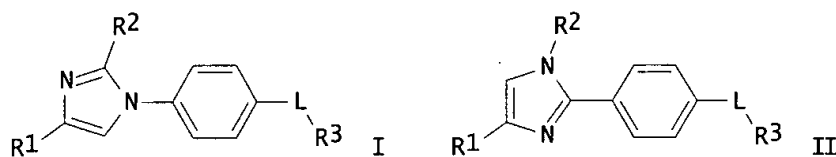
L30 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2001:713321 CAPLUS  
 DOCUMENT NUMBER: 135:272956  
 TITLE: Substituted 1-(4-aminophenyl)imidazoles and their use  
 as anti-inflammatory agents  
 INVENTOR(S): Betageri, Rajashekhar  
 PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA  
 SOURCE: PCT Int. Appl., 39 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001070703	A2	20010927	WO 2001-US9262	20010322
WO 2001070703	A3	20020523		

W: CA, JP, MX

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,  
 PT, SE, TR

PRIORITY APPLN. INFO.: US 2000-533207 A 20000323  
 OTHER SOURCE(S): MARPAT 135:272956  
 GI



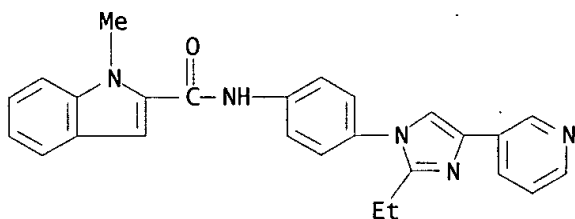
AB Title compds. I and II are disclosed [wherein R1, R2 = CF<sub>3</sub>, halo, cyano, branched or unbranched C1-8 alkyl or alkenyl, (un)substituted C3-8 cycloalkyl, C1-8 alkoxy, C1-4 alkoxyalkyl, C1-8 alkylthio, C1-4 alkylthioalkyl, C1-8 dialkylamino, C1-4 dialkylaminoalkyl, CO<sub>2</sub>R<sub>4</sub> [R<sub>4</sub> = C1-4 alkyl or C1-4 alkenyl (un)substituted with carbocyclyl or heterocyclyl], (un)substituted aryl or heterocyclyl; L = NHC(O), NHC(O)O, NHC(O)C(O), NHC(S), NH, NHC(O)NH, NHC(S)NH, NHCH<sub>2</sub>, NHCH(R<sub>5</sub>) [where R<sub>5</sub> = H, cyano, C1-6 alkyl, C1-6 alkoxyalkyl, C1-6 alkylthioalkyl, C1-6 alkylsulfinylalkyl, C1-6 alkylsulfonylalkyl, C3-6 cycloalkyl, (un)substituted heterocyclyl or aryl, NHC(R<sub>5</sub>)-lower alkyl]; R<sub>3</sub> = C1-8 alkyl, alkoxy, alkylthio, or alkylamino, C1-4 alkoxyalkyl, alkylthioalkyl, alkylaminoalkyl, dialkylalkylaminoalkyl, carbo- or heterocyclyl [carbo- or heterocyclyl (un)substituted with 1 or more of the following: halo, CN, NO<sub>2</sub>, SO<sub>2</sub>NH<sub>2</sub>, or R<sub>6</sub> (where R<sub>6</sub> = Ph, heterocyclyl, C3-6 cycloalkyl, C1-6 alkyl, C2-6 alkenyl, C1-6 alkoxyalkyl, alkylthioalkyl, alkylsulfinylalkyl, or alkylsulfonylalkyl, or C2-6 alkynyl)], and R<sub>6</sub> is (un)substituted with halo, OH, alkoxy, CN, COO-lower alkyl, CONH-lower alkyl, CON-(lower alkyl)<sub>2</sub>, dialkylamino, Ph, or heterocyclyl]; or R<sub>3</sub> = CO<sub>2</sub>R<sub>6</sub>, N(R<sub>6</sub>)<sub>2</sub>, NH(R<sub>6</sub>), C(O)R<sub>6</sub>, OR<sub>6</sub>, S(O)O-2R<sub>6</sub>, SO<sub>2</sub>NHR<sub>6</sub>, or SO<sub>2</sub>N(R<sub>6</sub>)<sub>2</sub>; or a pharmaceutically acceptable deriv. thereof]. The compds. have antiinflammatory and immunosuppressive activity by virtue of their ability to inhibit IL-2 prodn. in T-lymphocytes. Twenty compds. were individually claimed, and 3 examples were prepd. in examples. For instance, 4-methyl-2-phenylimidazole was condensed with 1-fluoro-4-nitrobenzene in DMSO contg. KO<sup>t</sup>Bu-tert (80%), and the product was reduced with SnCl<sub>2</sub> in AcOH and amidated with 4-chlorobenzoic acid (70%) to give title compd. III. In an IL-2 promoter assay measuring transcriptional activation of a luciferase reporter gene, III and the other synthetic example compds. had IC<sub>50</sub> values below 10 .mu.M.

IT **362613-46-5P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(drug candidate; prepn. of substituted (aminophenyl)imidazoles and their use as anti-inflammatory agents)

RN 362613-46-5 CAPLUS

CN 1H-Indole-2-carboxamide, N-[4-[2-ethyl-4-(3-pyridinyl)-1H-imidazol-1-yl]phenyl]-1-methyl- (9CI) (CA INDEX NAME)



L30 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:693264. CAPLUS

DOCUMENT NUMBER: 135:257269

TITLE: Preparation of N-heterocyclyl amide compounds as 5-HT antagonists

INVENTOR(S): Yamada, Akira; Tomishima, Masaki; Hayashida, Hisashi; Imanishi, Masashi; Spears, Glen W.; Ito, Kiyotaka; Takahashi, Fumie; Miyake, Hiroshi

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 239 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001068585	A1	20010920	WO 2001-JP1993	20010313
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
AU 2001041128	A5	20010924	AU 2001-41128	20010313
EP 1264820	A1	20021211	EP 2001-912338	20010313
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			

PRIORITY APPLN. INFO.: JP 2000-70127 A 20000314

JP 2000-305947 A 20001005

WO 2001-JP1993 W 20010313

OTHER SOURCE(S): CASREACT 135:257269; MARPAT 135:257269

AB Amides compds. represented by the general formula R1-A-X-NHCO-Y-R2 [wherein R1 is an optionally substituted heterocyclic group or optionally substituted phenyl; R2 is optionally substituted fused Ph, optionally substituted Ph, or optionally substituted thienyl; A is a group represented by the formula -(CH2)t-(O)m- or -(CR3R4)pNR5(CO)n- (wherein R3 and R4 each is hydrogen or R3 and R4 in combination form imino; R5 is hydrogen or lower alkyl; t is 0, 1, or 2; and p, m, and n each is 0 or 1); X is optionally substituted phenylene or an optionally substituted, divalent, nitrogenous heterocyclic group; and Y is a bond, lower alkylene, or lower alkenylene] and salts thereof are prepd. Theses amides include phenylacetamide, cinnamides, 1H-indole-7-carboxamides, 3-(2-pyridyl)-2-propenamides, 5-phenyl-2-thiophenecarboxamides, 9H-carbazolecarboxamides, 3-phenyl-2-propenamides, 9H-fluorene-1-carboxamides, 2,3-dihydrobenz[b]oxepine-4-carboxamides,

1H-benzo[b]thiepin-4-carboxamides, and 3-(1H-indol-3-yl)-2-propenamides. They are antagonists of 5-hydroxytryptamine (5-HT), in particular 5-HT<sub>2c</sub>, and are useful for the treatment of 5-HT-mediated diseases such as (1) central nervous system disorders including anxiety, depression, obsessive-compulsive neurosis, migraine headache, anorexia, Alzheimer's disease, sleep disorder, over-eating, and panic, (2) withdrawal symptom caused by cocaine, ethanol, nicotine, and benzodiazepine, (3) schizophrenia, (4) spinal cord injury, and /or (5) head injury such as hydrocephalus. Thus, SOCl<sub>2</sub> was added to a soln. of (E)-4-phenyl-3-butenic acid in benzene, heated under reflux for 1 h, and cooled, followed by adding 3-(imidazol-1-yl)aniline and Et<sub>3</sub>N, and the resulting mixt. was stirred at room temp. for 1 h to give (3E)-N-[3-(imidazol-1-yl)phenyl]-4-phenyl-3-butenamide (I). I in vitro inhibited by 82% the binding of [3H]mesulergine to 5-HT<sub>2c</sub> receptor which was prepd. from rat frontal lobe cortex.

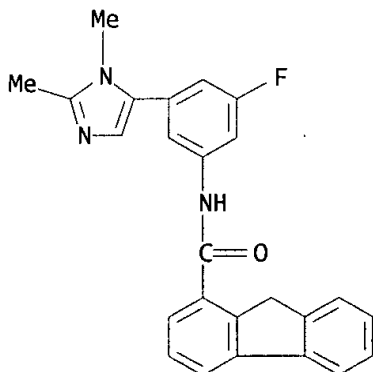
IT 361551-65-7P 361551-66-8P 361551-67-9P  
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 361551-75-9P 361551-76-0P 361551-77-1P  
 361551-78-2P 361551-79-3P 361551-80-6P  
 361551-81-7P 361551-82-8P 361551-83-9P  
 361552-39-8P 361552-40-1P 361552-41-2P  
 361552-42-3P 361552-43-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-heterocyclyl amide compds. as 5-HT antagonists for treatment of 5-HT-mediated diseases such as central nervous system disorders, drug withdrawal symptom, schizophrenia, spinal cord injury, and head injury)

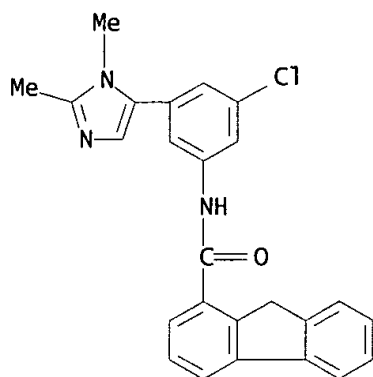
RN 361551-65-7 CAPLUS

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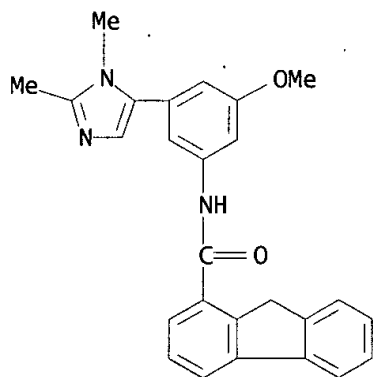
RN 361551-66-8 CAPLUS

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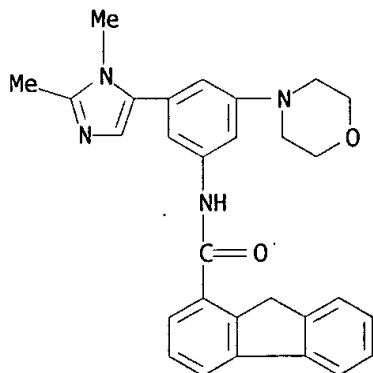
RN 361551-67-9 CAPLUS

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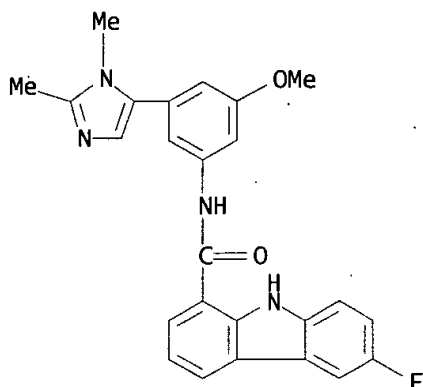
RN 361551-68-0 CAPLUS

CN 9H-Fluorene-1-carboxamide, N-[3-(1,2-dimethyl-1H-imidazol-5-yl)-5-(4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



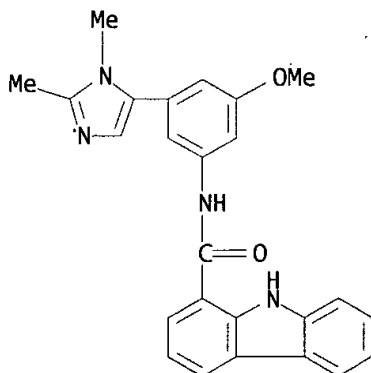
RN 361551-70-4 CAPLUS

CN 9H-Carbazole-1-carboxamide, N-[3-(1,2-dimethyl-1H-imidazol-5-yl)-5-methoxyphenyl]-6-fluoro- (9CI) (CA INDEX NAME)



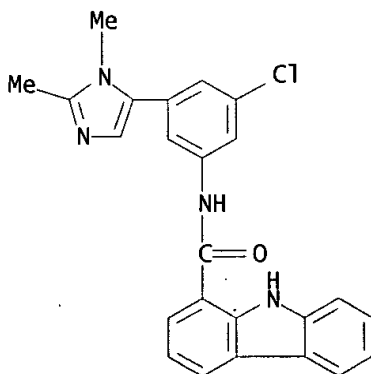
RN 361551-71-5 CAPLUS

CN 9H-Carbazole-1-carboxamide, N-[3-(1,2-dimethyl-1H-imidazol-5-yl)-5-methoxyphenyl]- (9CI) (CA INDEX NAME)



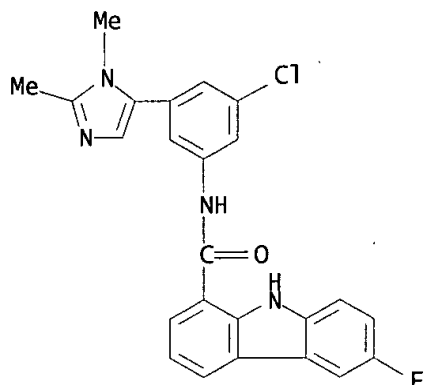
RN 361551-72-6 CAPLUS

CN 9H-Carbazole-1-carboxamide, N-[3-chloro-5-(1,2-dimethyl-1H-imidazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

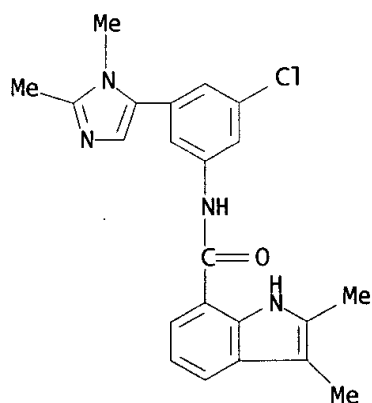


RN 361551-73-7 CAPLUS

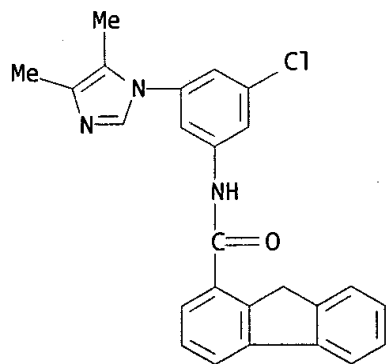
CN 9H-Carbazole-1-carboxamide, N-[3-chloro-5-(1,2-dimethyl-1H-imidazol-5-yl)phenyl]-6-fluoro- (9CI) (CA INDEX NAME)



RN 361551-74-8 CAPLUS  
 CN 1H-Indole-7-carboxamide, N-[3-chloro-5-(1,2-dimethyl-1H-imidazol-5-yl)phenyl]-2,3-dimethyl- (9CI) (CA INDEX NAME)



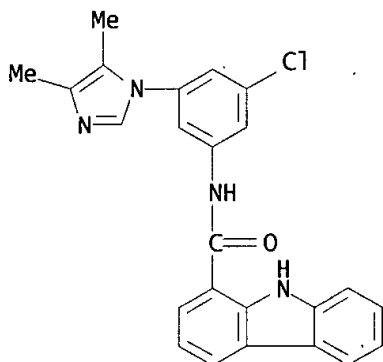
RN 361551-75-9 CAPLUS  
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RN 361551-76-0 CAPLUS

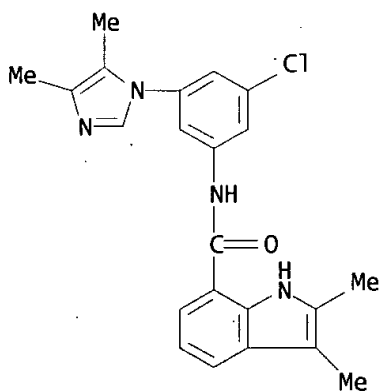


CN 9H-Carbazole-1-carboxamide, N-[3-chloro-5-(4,5-dimethyl-1H-imidazol-1-yl)phenyl]- (9CI) (CA INDEX NAME)



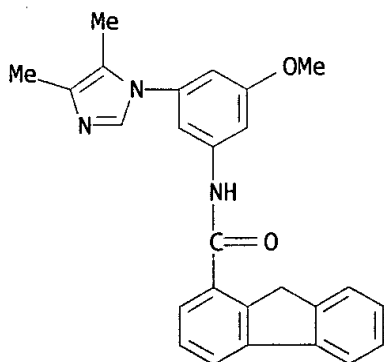
RN 361551-77-1 CAPLUS

CN 1H-Indole-7-carboxamide, N-[3-chloro-5-(4,5-dimethyl-1H-imidazol-1-yl)phenyl]-2,3-dimethyl- (9CI) (CA INDEX NAME)



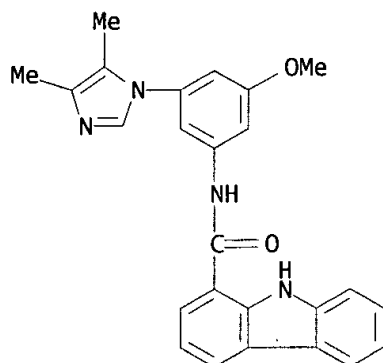
RN 361551-78-2 CAPLUS

CN 9H-Fluorene-1-carboxamide, N-[3-(4,5-dimethyl-1H-imidazol-1-yl)-5-methoxyphenyl]- (9CI) (CA INDEX NAME)



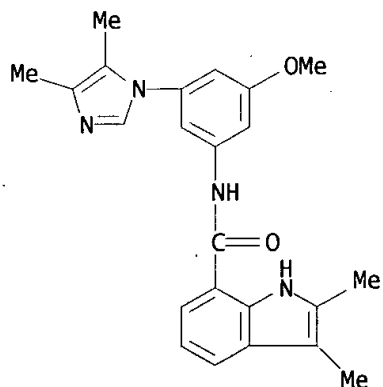
RN 361551-79-3 CAPLUS

CN 9H-Carbazole-1-carboxamide, N-[3-(4,5-dimethyl-1H-imidazol-1-yl)-5-methoxyphenyl]- (9CI) (CA INDEX NAME)



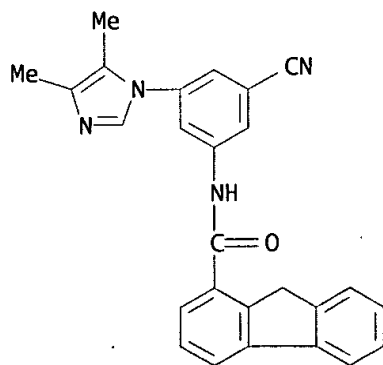
RN 361551-80-6 CAPLUS

CN 1H-Indole-7-carboxamide, N-[3-(4,5-dimethyl-1H-imidazol-1-yl)-5-methoxyphenyl]-2,3-dimethyl- (9CI) (CA INDEX NAME)



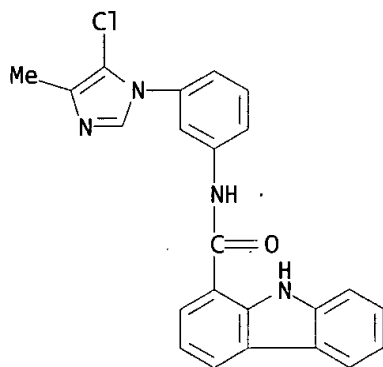
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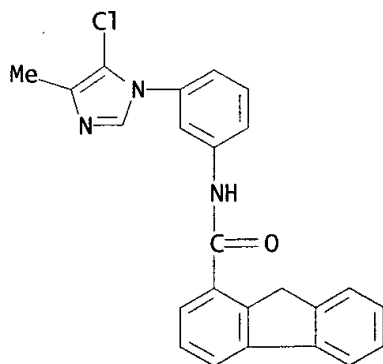
RN 361551-82-8 CAPLUS

CN 9H-Carbazole-1-carboxamide, N-[3-(5-chloro-4-methyl-1H-imidazol-1-yl)phenyl]- (9CI) (CA INDEX NAME)



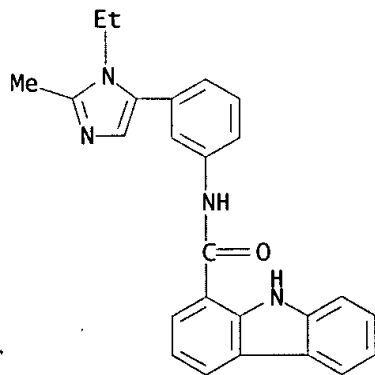
RN 361551-83-9 CAPLUS

CN 9H-Fluorene-1-carboxamide, N-[3-(5-chloro-4-methyl-1H-imidazol-1-yl)phenyl]- (9CI) (CA INDEX NAME)

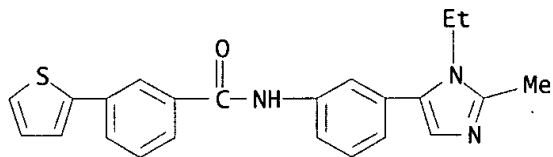


RN 361552-39-8 CAPLUS

CN 9H-Carbazole-1-carboxamide, N-[3-(1-ethyl-2-methyl-1H-imidazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

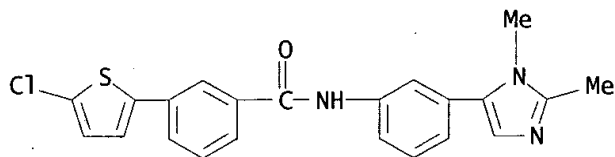


RN 361552-40-1 CAPLUS

CN Benzamide, N-[3-(1-ethyl-2-methyl-1H-imidazol-5-yl)phenyl]-3-(2-thienyl)-  
(9CI) (CA INDEX NAME)

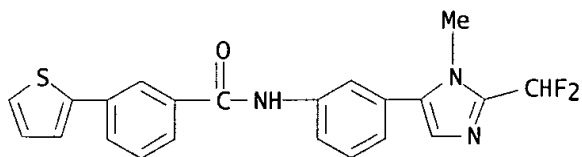
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CN Benzamide, 3-(5-chloro-2-thienyl)-N-[3-(1,2-dimethyl-1H-imidazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



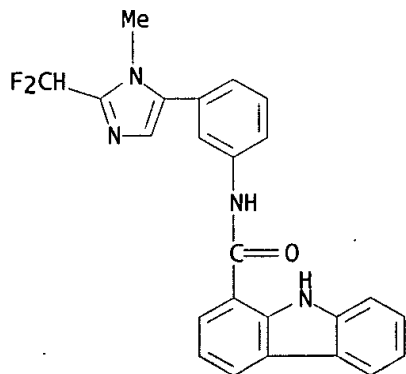
RN 361552-42-3 CAPLUS

CN Benzamide, N-[3-[2-(difluoromethyl)-1-methyl-1H-imidazol-5-yl]phenyl]-3-(2-thienyl)- (9CI) (CA INDEX NAME)



RN 361552-43-4 CAPLUS

CN 9H-Carbazole-1-carboxamide, N-[3-[2-(difluoromethyl)-1-methyl-1H-imidazol-5-yl]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L30 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:265412 CAPLUS

DOCUMENT NUMBER: 134:280863

TITLE: Preparation of amides as 5-HT antagonists

INVENTOR(S): Ito, Kiyotaka; Spears, Glen W.; Takahashi, Fumie; Yamada, Akira; Tomishima, Masaki; Miyake, Hiroshi

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 44 pp.

CODEN: PIXXD2

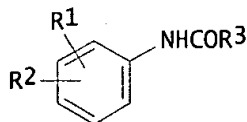
DOCUMENT TYPE: Patent

LANGUAGE: English

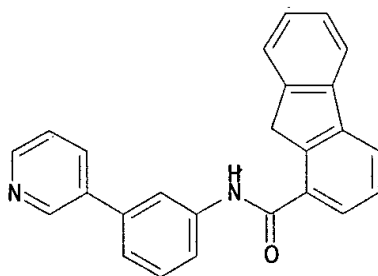
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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EP 1216240	A1	20020626	EP 2000-961234	20000926
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003511380	T2	20030325	JP 2001-528173	20000926
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			WO 2000-JP6623	W 20000926
OTHER SOURCE(S):		MARPAT 134:280863		
GI				



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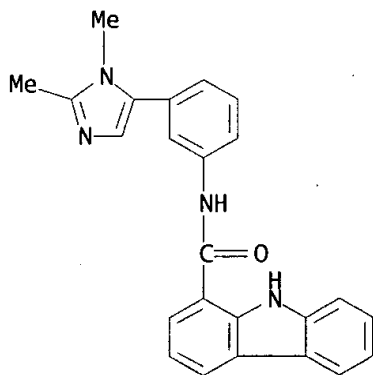


II

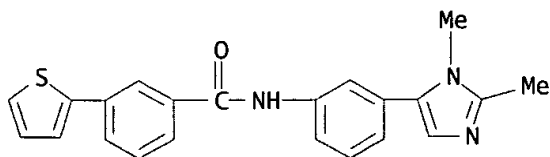
AB The title compds. [I; R1 = (un)substituted N-contg. heterocyclic group selected from imidazolyl, triazolyl, pyridyl, pyridazinyl, pyrimidinyl and pyrazinyl; R2 = H, alkyl; R3 = Ph substituted with thienyl or halophenyl, thienyl group substituted with thienyl, Ph or halophenyl, etc.] which have 5-HT antagonism activity, were prepd. Thus, reacting 3-(pyridin-3-

yl)aniline with fluorene-1-carbonyl chloride in the presence of pyridine in CH<sub>2</sub>Cl<sub>2</sub> afforded 87.6% II which showed 74% inhibition of 5-HT<sub>2c</sub> receptor binding.

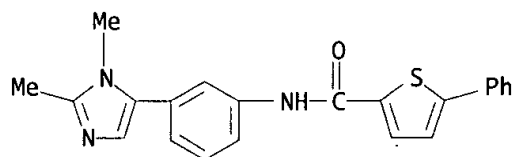
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 , N-[3-(4-Methylimidazol-1-yl)-phenyl]-9H-fluorene-1-carboxamide 333793-49-0P, N-[3-(4,5-Dimethylimidazol-1-yl)-phenyl]-9H-fluorene-1-carboxamide 333793-51-4P, N-[3-(4,5-Dimethylimidazol-1-yl)-phenyl]-3-(2-thienyl)benzamide 333793-54-7P,  
 N-[3-(1,2-Dimethylimidazol-5-yl)phenyl]-6-fluoro-9H-carbazole-1-carboxamide 333793-55-8P, N-[3-(4,5-Dimethylimidazol-1-yl)-phenyl]-2,3-dimethyl-1H-indole-7-carboxamide 333793-56-9P,  
 N-[3-(4,5-Dimethylimidazol-1-yl)-phenyl]-6-fluoro-9H-carbazole-1-carboxamide 333793-57-0P, N-[3-(4-Methylimidazol-1-yl)phenyl]-9H-carbazole-1-carboxamide  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of amides as 5-HT antagonists)  
 RN 333792-48-6 CAPLUS  
 CN 9H-Carbazole-1-carboxamide, N-[3-(1,2-dimethyl-1H-imidazol-5-yl)phenyl]-(9CI) (CA INDEX NAME)



- RN 333792-76-0 CAPLUS  
 CN Benzamide, N-[3-(1,2-dimethyl-1H-imidazol-5-yl)phenyl]-3-(2-thienyl)- (9CI) (CA INDEX NAME)

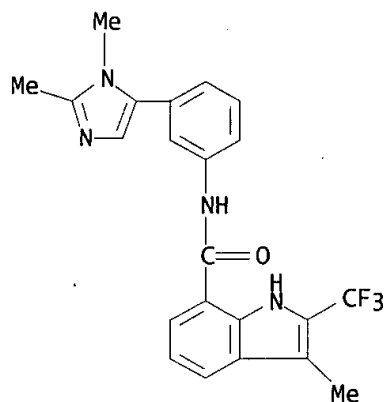


- RN 333792-84-0 CAPLUS  
 CN 2-Thiophenecarboxamide, N-[3-(1,2-dimethyl-1H-imidazol-5-yl)phenyl]-5-phenyl- (9CI) (CA INDEX NAME)



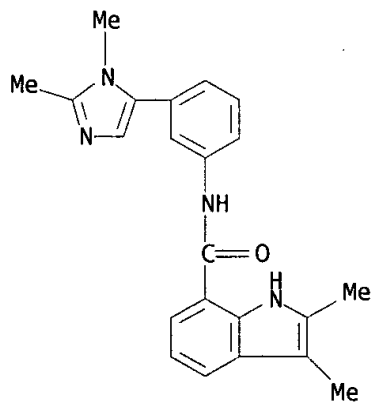
RN 333792-94-2 CAPLUS

CN 1H-Indole-7-carboxamide, N-[3-(1,2-dimethyl-1H-imidazol-5-yl)phenyl]-3-methyl-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



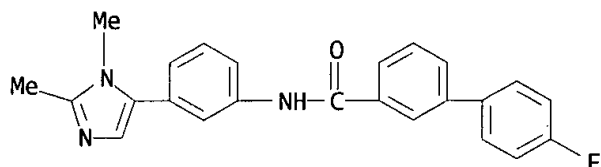
RN 333792-97-5 CAPLUS

CN 1H-Indole-7-carboxamide, N-[3-(1,2-dimethyl-1H-imidazol-5-yl)phenyl]-2,3-dimethyl- (9CI) (CA INDEX NAME)



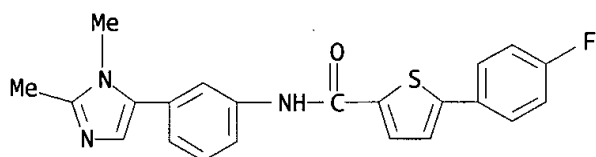
RN 333793-02-5 CAPLUS

CN [1,1'-Biphenyl]-3-carboxamide, N-[3-(1,2-dimethyl-1H-imidazol-5-yl)phenyl]-4'-fluoro- (9CI) (CA INDEX NAME)



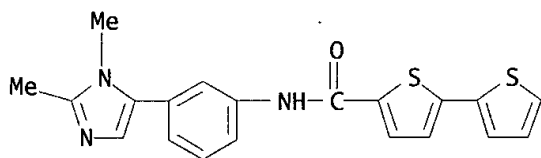
RN 333793-07-0 CAPLUS

CN 2-Thiophenecarboxamide, N-[3-(1,2-dimethyl-1H-imidazol-5-yl)phenyl]-5-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



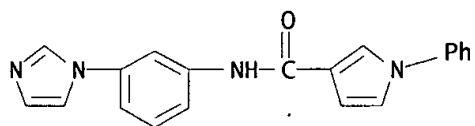
RN 333793-28-5 CAPLUS

CN [2,2'-Bithiophene]-5-carboxamide, N-[3-(1,2-dimethyl-1H-imidazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



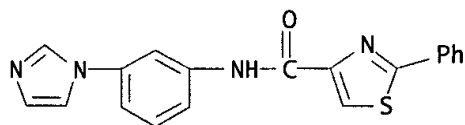
RN 333793-30-9 CAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[3-(1H-imidazol-1-yl)phenyl]-1-phenyl- (9CI) (CA INDEX NAME)



RN 333793-32-1 CAPLUS

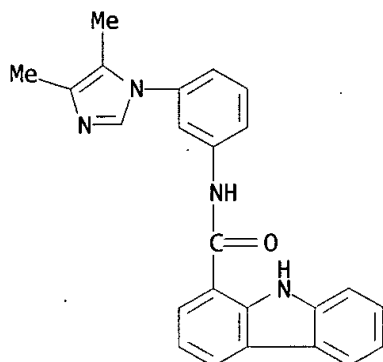
CN 4-Thiazolecarboxamide, N-[3-(1H-imidazol-1-yl)phenyl]-2-phenyl- (9CI) (CA INDEX NAME)



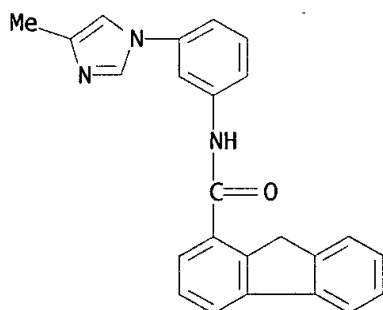
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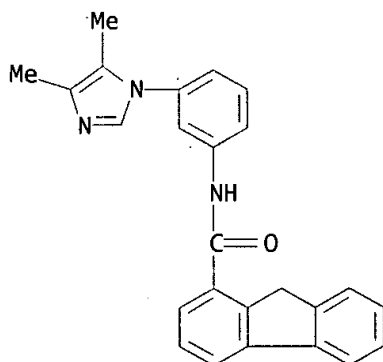
CN 9H-Carbazole-1-carboxamide, N-[3-(4,5-dimethyl-1H-imidazol-1-yl)phenyl]-  
(9CI) (CA INDEX NAME)



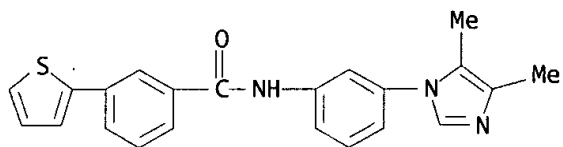
RN 333793-47-8 CAPLUS  
CN 9H-Fluorene-1-carboxamide, N-[3-(4-methyl-1H-imidazol-1-yl)phenyl]- (9CI)  
(CA INDEX NAME)



RN 333793-49-0 CAPLUS  
CN 9H-Fluorene-1-carboxamide, N-[3-(4,5-dimethyl-1H-imidazol-1-yl)phenyl]-  
(9CI) (CA INDEX NAME)

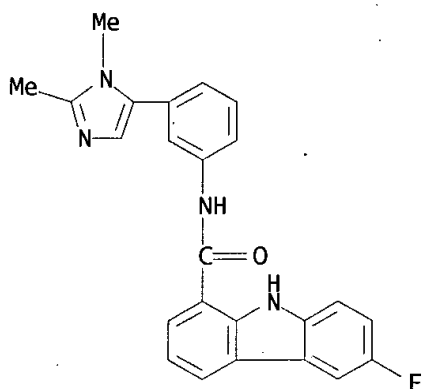


RN 333793-51-4 CAPLUS  
CN Benzamide, N-[3-(4,5-dimethyl-1H-imidazol-1-yl)phenyl]-3-(2-thienyl)-  
(9CI) (CA INDEX NAME)



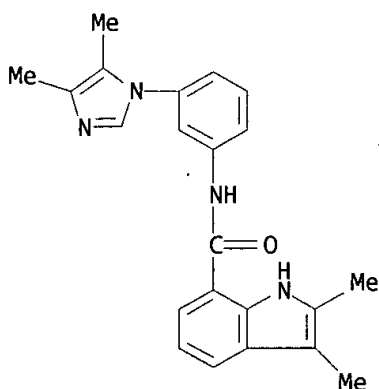
RN 333793-54-7 CAPLUS

CN 9H-Carbazole-1-carboxamide, N-[3-(1,2-dimethyl-1H-imidazol-5-yl)phenyl]-6-fluoro- (9CI) (CA INDEX NAME)



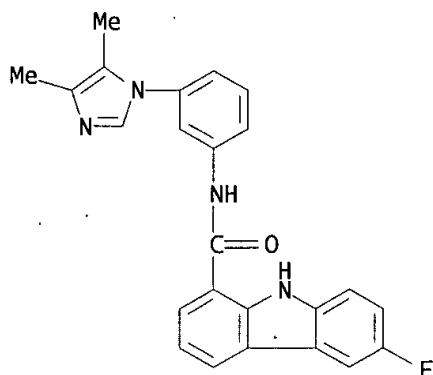
RN 333793-55-8 CAPLUS

CN 1H-Indole-7-carboxamide, N-[3-(4,5-dimethyl-1H-imidazol-1-yl)phenyl]-2,3-dimethyl- (9CI) (CA INDEX NAME)

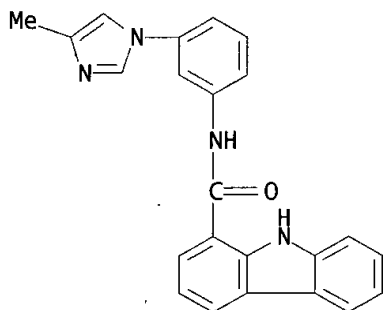


RN 333793-56-9 CAPLUS

CN 9H-Carbazole-1-carboxamide, N-[3-(4,5-dimethyl-1H-imidazol-1-yl)phenyl]-6-fluoro- (9CI) (CA INDEX NAME)



RN 333793-57-0 CAPLUS  
 CN 9H-Carbazole-1-carboxamide, N-[3-(4-methyl-1H-imidazol-1-yl)phenyl]- (9CI)  
 (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L30 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:152682 CAPLUS

DOCUMENT NUMBER: 134:207809

TITLE: Preparation of spiroisoindolinepiperidines, spiroisoquinolinepiperidines, spiroisobenzofuranpiperidines, and related compounds as neuropeptide Y antagonists.

INVENTOR(S): Fukami, Takehiro; Kanatani, Akio; Ishihara, Akane; Ishii, Yasuyuki; Takahashi, Toshiyuki; Haga, Yuji; Sakamoto, Toshihiro; Itoh, Takahiro

PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 164 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001014376	A1	20010301	WO 2000-JP5427	20000811

W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ,

LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU,  
 SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,  
 CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 BR 2000013423 A 20020507 BR 2000-13423 20000811  
 EP 1204663 A1 20020515 EP 2000-951971 20000811  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL  
 JP 2002030086 A2 20020129 JP 2000-247145 20000817  
 JP 2003104884 A2 20030409 JP 2002-271261 20000817  
 BG 106390 A 20021229 BG 2002-106390 20020206  
 NO 2002000814 A 20020415 NO 2002-814 20020219  
 US 2003055251 A1 20030320 US 2002-226225 20020823

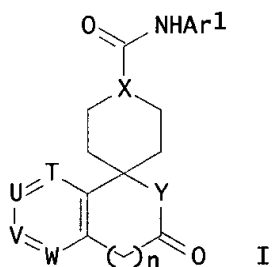
## PRIORITY APPLN. INFO.:

JP 1999-233573 A 19990820  
 JP 2000-137692 A 20000510  
 WO 2000-JP5427 W 20000811  
 JP 2000-247145 A3 20000817  
 US 2000-640784 A3 20000818  
 US 2001-983598 A3 20011025  
 US 2002-101221 A3 20020320

## OTHER SOURCE(S):

MARPAT 134:207809

GI



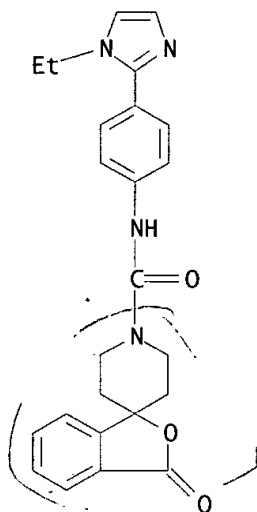
AB Title compds. [I; Ar1 = (substituted) aryl, heteroaryl, QAr2; Ar2 = (substituted) aryl, heteroaryl; Q = bond, CO; T, U, V, W = N, (substituted) CH; X = N, CH; Y = (substituted) imino], were prepd. Thus, N-tert-butoxycarbonyl-4-piperidone was refluxed 3 h with PhCH2NH2 in PhMe to give a residue which was stirred with o-iodobenzoyl chloride and Et3N in PhMe at 80.degree. for 2 h to give N-benzyl-N-(1-tert-butoxycarbonyl-1,2,3,6-tetrahydropyridin-4-yl)-2-iodobenzamide. The latter was heated with Pd(OAc)2, Ph3P, K2CO3, and Et4NCl in MeCN at 80.degree. for 6 h to give 2-benzyl-1'-tert-butoxycarbonyl-1',6'-dihydrospiro[1H-isoindole-1,4'(5'H)-pyridine]-3(2H)-one. This was converted to N-(4-benzoylphenyl)-3-oxospiro[isoindoline-1,4'-piperidine]-1'-carboxamide, (II), which inhibited [125I]peptide YY binding to NPY Y5 receptors with IC50 = 1.2 nM. II drug formulations are given.

## IT 328232-32-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of spiroisoindolinepiperidines, spiroisoquinolinepiperidines, spiroisobenzofuranpiperidines, and related compds. as neuropeptide Y antagonists)

RN 328232-32-2 CAPLUS

CN Spiro[isobenzofuran-1(3H),4'-piperidine]-1'-carboxamide,  
N-[4-(1-ethyl-1H-imidazol-2-yl)phenyl]-3-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L30 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:121819 CAPLUS

DOCUMENT NUMBER: 132:161255

TITLE: Phenylimidazole derivatives as antihyperlipidemics and antiarteriosclerotics

INVENTOR(S): Mochizuki, Nobuo; Uchida, Seiichi; Yamada, Yuichi; Umeda, Nobuhiro

PATENT ASSIGNEE(S): Nippon Soda Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

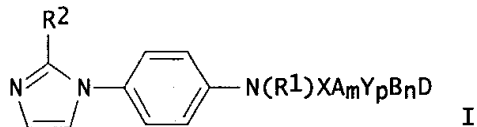
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000053570	A2	20000222	JP 1998-222158	19980805
PRIORITY APPLN. INFO.:			JP 1998-222158	19980805
OTHER SOURCE(S):		MARPAT 132:161255		

GI



AB Phenylimidazole derivs. [I, R1 = H, Me; R2 = H, Me, Et, CF3, OMe, Cl; A = alkylene; B = CH2CH2; D = substituted phenyl; X = CO, SO2; Y = O, S, SO2,

NMe, NH, N(CH<sub>2</sub>Ph), CONH, CON(Me); m, n, p = 0-1] and their pharmaceutically acceptable salts are claimed as antihyperlipidemics, and antiarteriosclerotics, with min. toxicity. I were prepd., and the acute toxicity of one of I was tested in rats. Examples of I tablets were formulated.

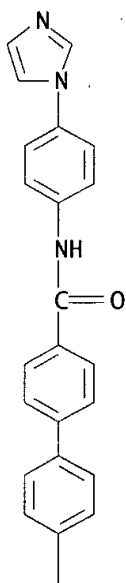
IT 259130-02-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(phenylimidazole derivs. as antihyperlipidemics and antiarteriosclerotics)

RN 259130-02-4 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, 4'-chloro-N-[4-(1H-imidazol-1-yl)phenyl]-  
(9CI) (CA INDEX NAME)

PAGE 1-A



*provis*

*X*

PAGE 2-A

Cl

L30 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:98525 CAPLUS

DOCUMENT NUMBER: 132:137396

TITLE: Phenylazole compounds, process for producing the same and drugs for hyperlipemia

INVENTOR(S): Umeda, Nobuhiro; Mochizuki, Nobuo; Uchida, Seiichi; Nishibe, Tadayuki; Yamada, Hirokazu; Ito, Kunihiro; Horikoshi, Hiromi

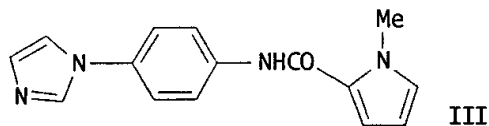
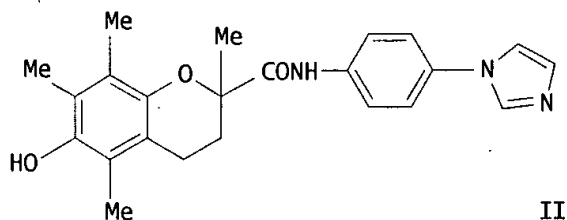
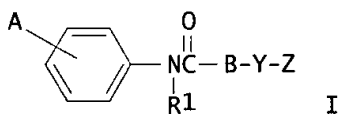
PATENT ASSIGNEE(S): Nippon Soda Co., Ltd., Japan

SOURCE: PCT Int. Appl., 92 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000006550	A1	20000210	WO 1999-JP4070	19990729
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2339123	AA	20000210	CA 1999-2339123	19990729
AU 9949297	A1	20000221	AU 1999-49297	19990729
AU 753360	B2	20021017		
EP 1101759	A1	20010523	EP 1999-933152	19990729
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2000290280	A2	20001017	JP 1999-216581	19990730
JP 2000281656	A2	20001010	JP 1999-221789	19990804
JP 2000281658	A2	20001010	JP 1999-221790	19990804
US 6342516	B1	20020129	US 2001-744786	20010126 ✓
PRIORITY APPLN. INFO.:			JP 1998-218316	A 19980731
			JP 1998-222157	A 19980805
			JP 1999-16846	A 19990126
			JP 1999-19670	A 19990128
			JP 1999-24318	A 19990201
			WO 1999-JP4070	W 19990729
OTHER SOURCE(S):		MARPAT 132:137396		
GI				



AB Phenylpyrazole and phenylimidazole compds. represented by general formula (I; wherein A represents (un)substituted imidazolyl or pyrazolyl; B represents (un)substituted (CH<sub>2</sub>)<sub>k</sub> or (CH:CH)<sub>k</sub>; Y = bond, O, S, SO<sub>2</sub>, CO, OCH<sub>2</sub>, C1-5 alkyl-(un)substituted NHCO or NH; Z = (un)substituted and satd. or unsatd. heterocycle contg. 1 to 4 N, O or S atoms, (un)substituted benzoquinonyl or naphthoquinonyl) or pharmaceutically acceptable salts thereof are prepd. Claimed are drugs for hyperlipemia which contain these compds. I as the active ingredient. Among all, compds. wherein Z is substituted chroman-2-yl, 2,3-dihydrobenzofuran-2-yl, etc. have an effect of inhibiting the formation of lipid peroxides too. Thus, 6-hydroxy-2,5,7,8-tetramethylchroman-2-carboxylic acid, 1-(4-aminophenyl)imidazole 4.0, 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride 2.82, 1-hydroxybenzotriazole 2.72 g, and 2.5 mL Et<sub>3</sub>N were added to 30 mL DMF and stirred at room temp. for 20 h to give title compd. (II). II and N-[4-(imidazol-1-yl)phenyl]-1-methyl-3-pyrrolicarboxamide (III) at 25 mg/kg p.o. lowered total serum level of cholesterol 40 and 75%, resp., and serum triglyceride level by 62 and 91%, resp. A tablet formulation contg. I was prepd.

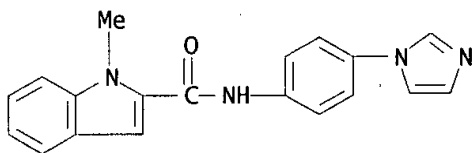
IT 256661-07-1P 256661-11-7P 256661-13-9P  
256661-72-0P 256661-78-6P 256661-85-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of phenylazole compds. as hypolipidemics and inhibitors of lipid peroxide formation)

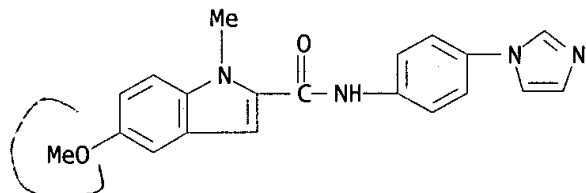
RN 256661-07-1 CAPLUS

CN 1H-Indole-2-carboxamide, N-[4-(1H-imidazol-1-yl)phenyl]-1-methyl- (9CI)  
(CA INDEX NAME)



RN 256661-11-7 CAPLUS

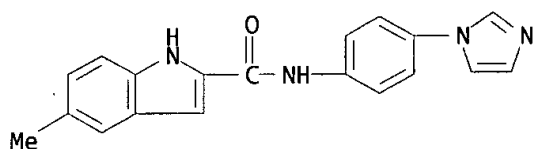
CN 1H-Indole-2-carboxamide, N-[4-(1H-imidazol-1-yl)phenyl]-5-methoxy-1-methyl- (9CI)  
(CA INDEX NAME)



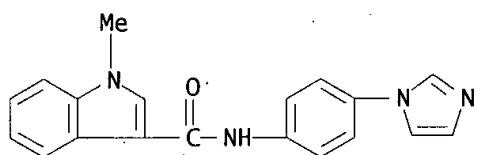
RN 256661-13-9 CAPLUS

CN 1H-Indole-2-carboxamide, N-[4-(1H-imidazol-1-yl)phenyl]-5-methyl- (9CI)  
(CA INDEX NAME)

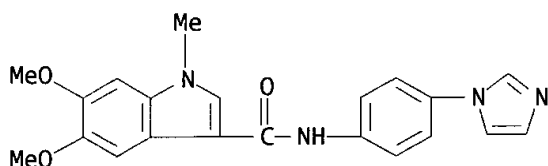




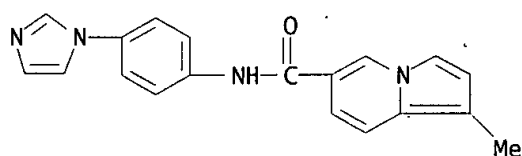
RN 256661-72-0 CAPLUS  
 CN 1H-Indole-3-carboxamide, N-[4-(1H-imidazol-1-yl)phenyl]-1-methyl- (9CI)  
 (CA INDEX NAME)



RN 256661-78-6 CAPLUS  
 CN 1H-Indole-3-carboxamide, N-[4-(1H-imidazol-1-yl)phenyl]-5,6-dimethoxy-1-methyl- (9CI) (CA INDEX NAME)



RN 256661-85-5 CAPLUS  
 CN 6-Indolizinecarboxamide, N-[4-(1H-imidazol-1-yl)phenyl]-1-methyl- (9CI)  
 (CA INDEX NAME)

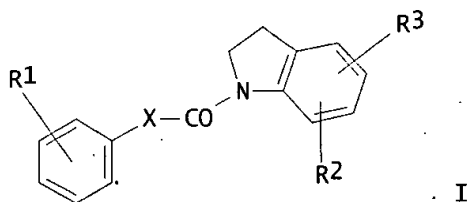


L30 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2000:62632 CAPLUS  
 DOCUMENT NUMBER: 132:93327  
 TITLE: Preparation of indolines as 5-hydroxytryptamine antagonists  
 INVENTOR(S): Ito, Kiyotaka; Spiers, Glen W.; Sasaki, Hiroshi; Takahashi, Fumie  
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000026463	A2	20000125	JP 1999-179698	19990625
PRIORITY APPLN. INFO.:			AU 1998-4438	19980701
OTHER SOURCE(S):			MARPAT 132:93327	
GI				



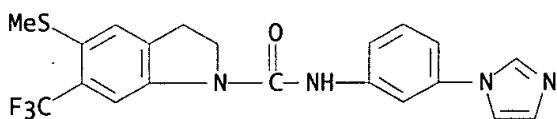
AB The title compds. I [R1 = thiazolyl, etc.; R2 = H, halo, etc.; R3 = H, alkylthio; X = NH, etc.] are prepd. In an in vitro test for affinity for the 5-HT<sub>2c</sub> receptors, 1-[[3-(imidazol-1-yl)phenyl]carbamoyl]-5-methylthio-6-trifluoromethylindoline showed IC<sub>80</sub> of 10<sup>-5</sup> M.

IT 254980-85-3P 254980-89-7P 254980-92-2P  
 254980-93-3P 254981-00-5P 254981-01-6P  
 254981-02-7P 254981-03-8P 254981-04-9P  
 254981-05-0P 254981-06-1P 254981-07-2P  
 254981-08-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of indolines as 5-hydroxytryptamine antagonists)

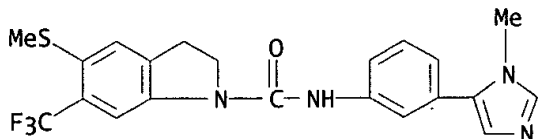
RN 254980-85-3 CAPLUS

CN 1H-Indole-1-carboxamide, 2,3-dihydro-N-[3-(1H-imidazol-1-yl)phenyl]-5-(methylthio)-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



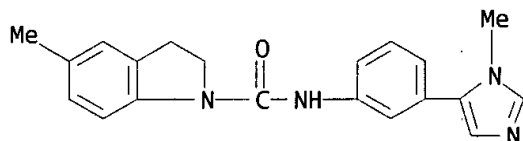
RN 254980-89-7 CAPLUS

CN 1H-Indole-1-carboxamide, 2,3-dihydro-N-[3-(1-methyl-1H-imidazol-5-yl)phenyl]-5-(methylthio)-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



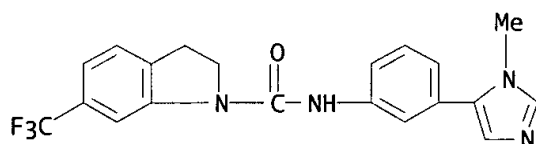
RN 254980-92-2 CAPLUS

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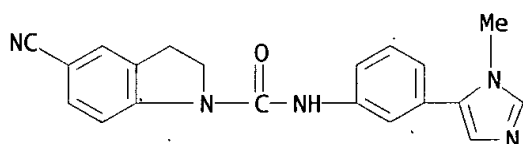
RN 254980-93-3 CAPLUS

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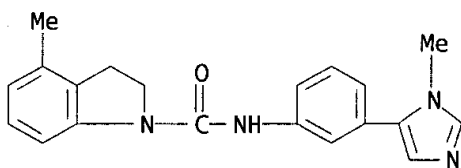
RN 254981-00-5 CAPLUS

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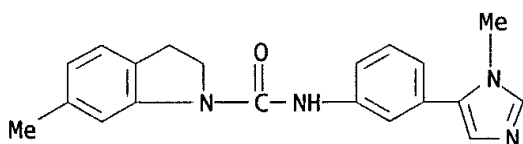
RN 254981-01-6 CAPLUS

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RN 254981-02-7 CAPLUS

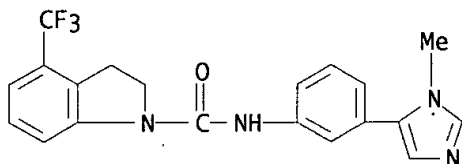
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RN 254981-03-8 CAPLUS

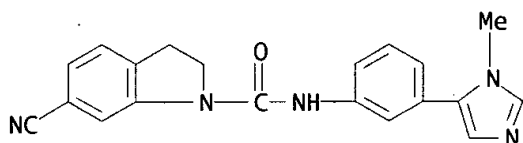
CN 1H-Indole-1-carboxamide, 2,3-dihydro-N-[3-(1-methyl-1H-imidazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

yl)phenyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



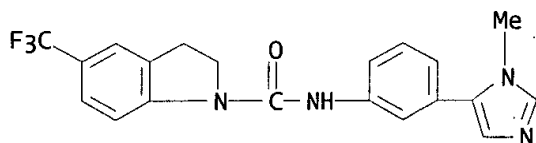
RN 254981-04-9 CAPLUS

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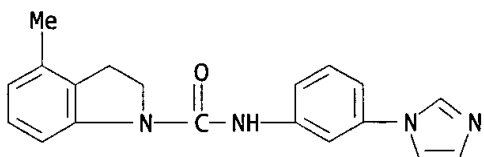
RN 254981-05-0 CAPLUS

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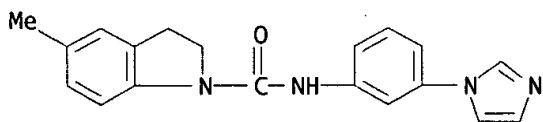
RN 254981-06-1 CAPLUS

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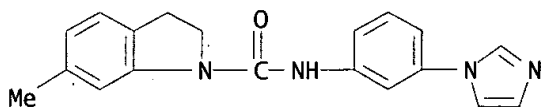
RN 254981-07-2 CAPLUS

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RN 254981-08-3 CAPLUS

CN 1H-Indole-1-carboxamide, 2,3-dihydro-N-[3-(1H-imidazol-1-yl)phenyl]-6-methyl- (9CI) (CA INDEX NAME)



L30 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:804348 CAPLUS

DOCUMENT NUMBER: 132:49960

TITLE: Preparation of amides as serotonin antagonists

INVENTOR(S): Ito, Kiyotaka; Spiers, Glen W.; Takahashi, Fumie;

Yamada, Akira; Toshima, Masaaki; Miyake, Hiroshi

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 35 pp.

CODEN: JKXXAF

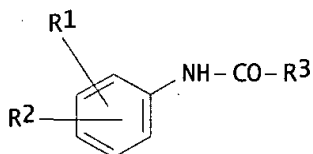
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11349572	A2	<u>19991221</u>	JP 1999-98969	19990406
PRIORITY APPLN. INFO.:			AU 1998-2858	19980407
OTHER SOURCE(S):	MARPAT 132:49960			
GI				



I

AB The title compds. I [R1 = (un)substituted heterocyclic ring; R2 = H, alkyl, etc.; R3 = (un)substituted pyridyl, etc.], useful as serotonin antagonists (no data), are prepd. For example, N-[3-(imidazol-1-yl)phenyl]benzamide was prepd.

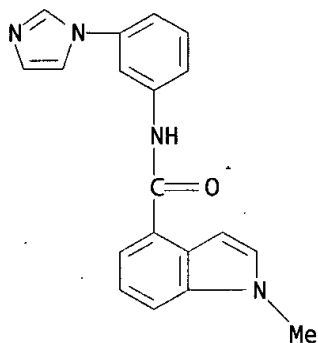
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 252927-78-9P 252927-82-5P 252927-90-5P  
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 252927-97-2P 252927-98-3P 252928-00-0P  
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 252928-40-8P 252928-41-9P 252928-42-0P  
 252928-43-1P 252928-51-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of amides as serotonin antagonists)

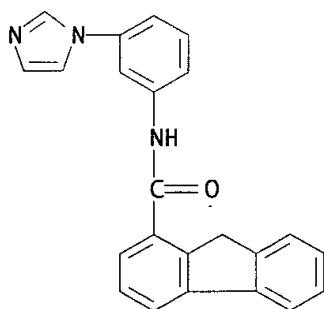
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(CA INDEX NAME)



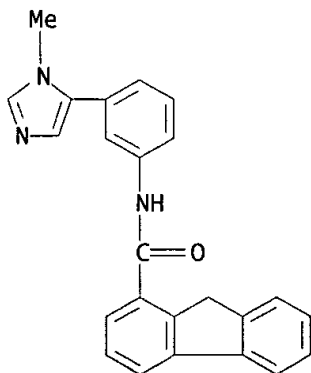
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CN 9H-Fluorene-1-carboxamide, N-[3-(1H-imidazol-1-yl)phenyl]- (9CI) (CA  
INDEX NAME)



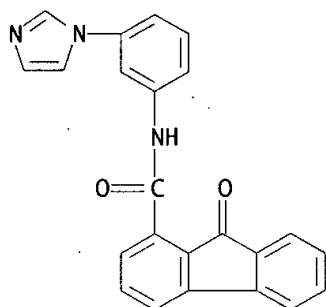
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CN 9H-Fluorene-1-carboxamide, N-[3-(1-methyl-1H-imidazol-5-yl)phenyl]- (9CI)  
(CA INDEX NAME)

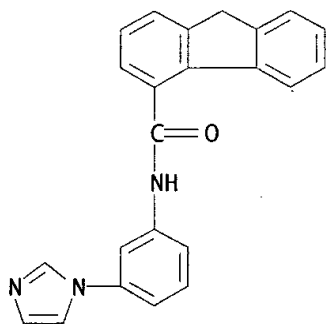


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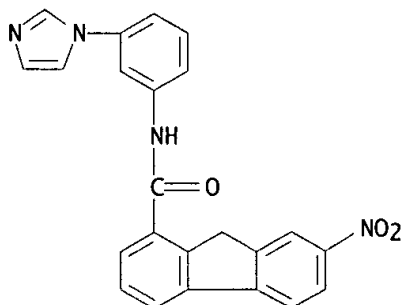
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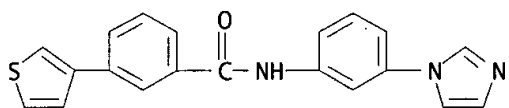
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INDEX NAME)



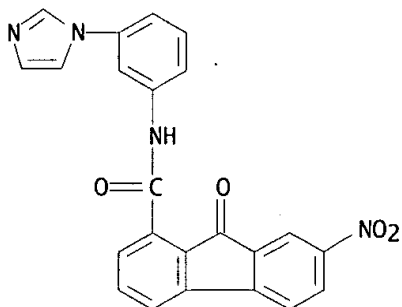
RN 252927-70-1 CAPLUS  
CN 9H-Fluorene-1-carboxamide, N-[3-(1H-imidazol-1-yl)phenyl]-7-nitro- (9CI)  
(CA INDEX NAME)



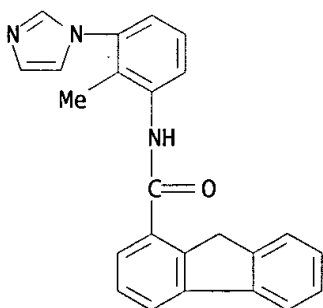
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CN Benzamide, N-[3-(1H-imidazol-1-yl)phenyl]-3-(3-thienyl)- (9CI) (CA INDEX  
NAME)



RN 252927-74-5 CAPLUS  
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 (9CI) (CA INDEX NAME)

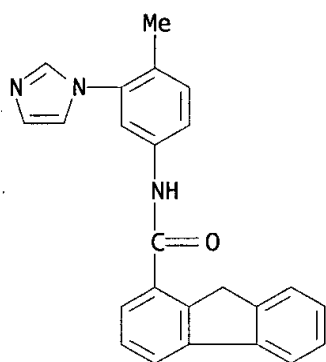


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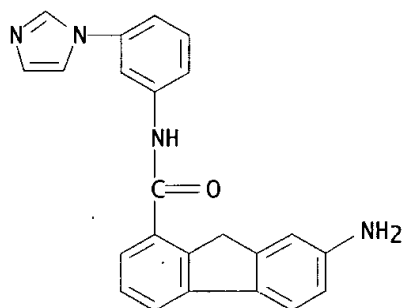


RN 252927-78-9 CAPLUS  
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 (CA INDEX NAME)

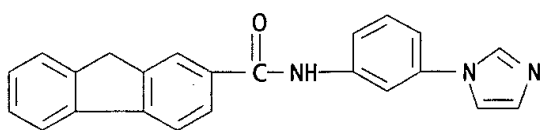




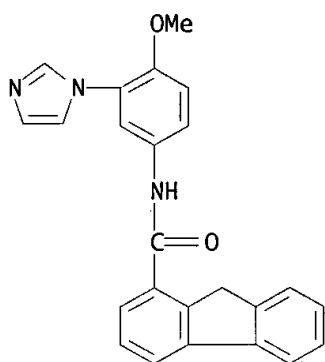
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CN 9H-Fluorene-1-carboxamide, 7-amino-N-[3-(1H-imidazol-1-yl)phenyl]- (9CI)  
(CA INDEX NAME)



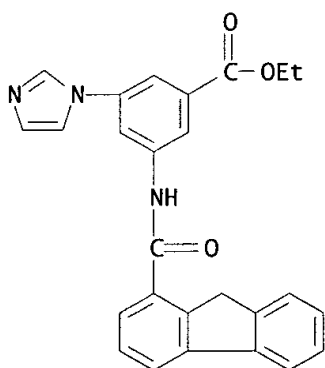
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CN 9H-Fluorene-2-carboxamide, N-[3-(1H-imidazol-1-yl)phenyl]- (9CI) (CA  
INDEX NAME)



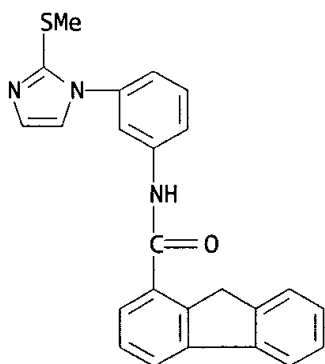
RN 252927-93-8 CAPLUS  
CN 9H-Fluorene-1-carboxamide, N-[3-(1H-imidazol-1-yl)-4-methoxyphenyl]- (9CI)  
(CA INDEX NAME)



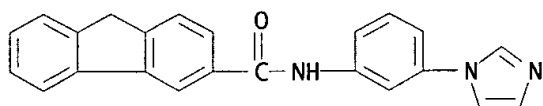
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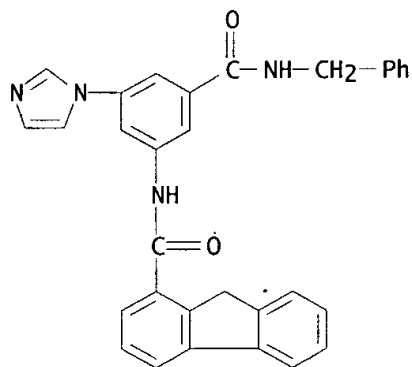
RN 252927-96-1 CAPLUS  
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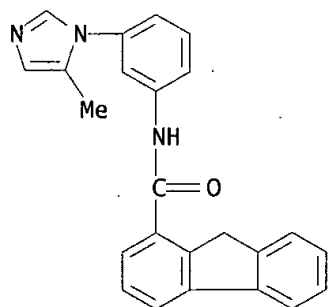
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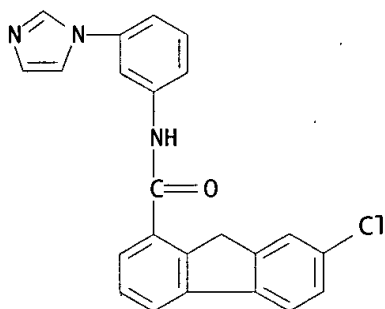
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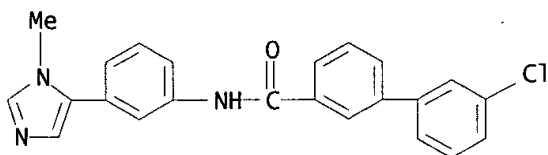
RN 252928-00-0 CAPLUS  
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 (CA INDEX NAME)



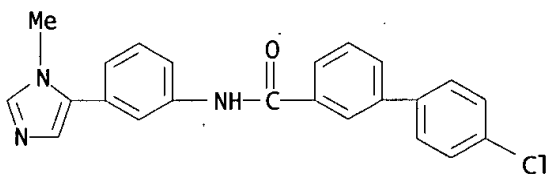
RN 252928-03-3 CAPLUS  
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 (CA INDEX NAME)



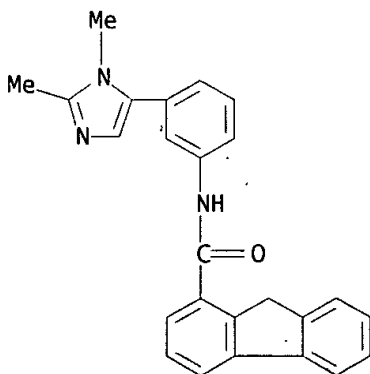
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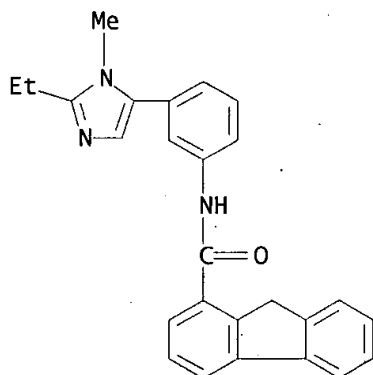
RN 252928-16-8 CAPLUS  
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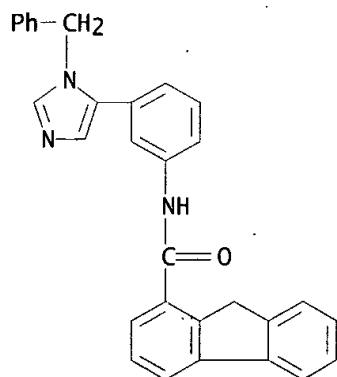
RN 252928-17-9 CAPLUS  
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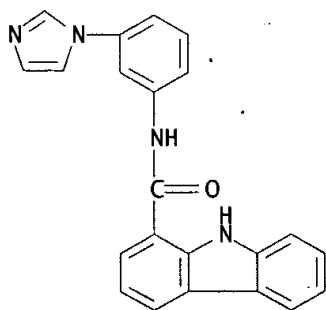
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CN 9H-Fluorene-1-carboxamide, N-[3-(2-ethyl-1-methyl-1H-imidazol-5-yl)phenyl]-  
(9CI) (CA INDEX NAME)

RN 252928-30-6 CAPLUS

CN 9H-Fluorene-1-carboxamide, N-[3-[1-(phenylmethyl)-1H-imidazol-5-yl]phenyl]-  
(9CI) (CA INDEX NAME)

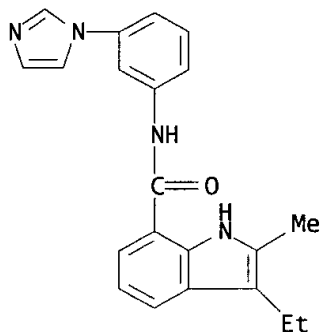
RN 252928-31-7 CAPLUS

CN 9H-Carbazole-1-carboxamide, N-[3-(1H-imidazol-1-yl)phenyl]- (9CI) (CA  
INDEX NAME)

RN 252928-32-8 CAPLUS

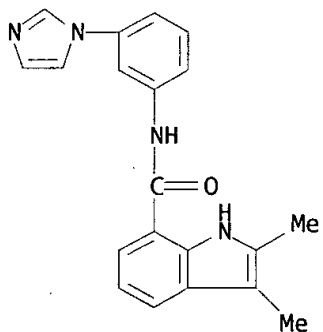
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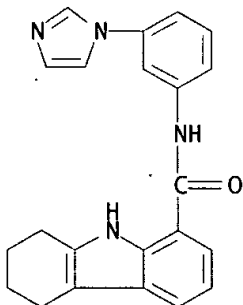
RN 252928-33-9 CAPLUS

CN 1H-Indole-7-carboxamide, N-[3-(1H-imidazol-1-yl)phenyl]-2,3-dimethyl-  
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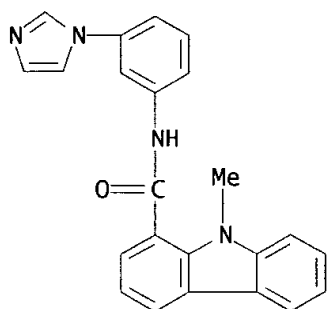
RN 252928-34-0 CAPLUS

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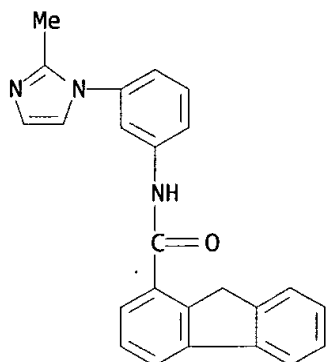


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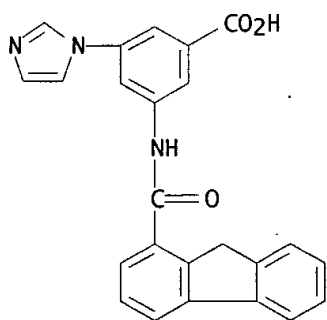
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(CA INDEX NAME)



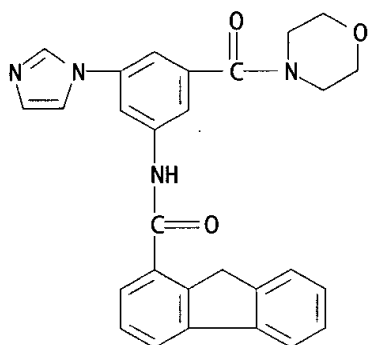
RN 252928-39-5 CAPLUS  
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 (CA INDEX NAME)



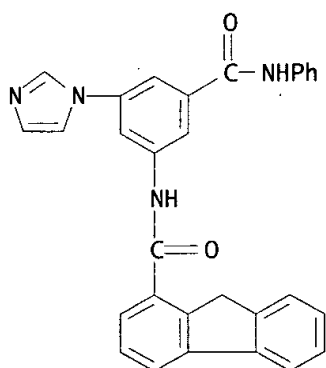
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 CN Benzoic acid, 3-[(9H-fluorene-1-ylcarbonyl)amino]-5-(1H-imidazol-1-yl)-  
 (9CI) (CA INDEX NAME)



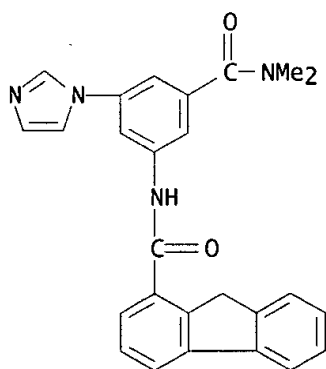
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 CN 9H-Fluorene-1-carboxamide, N-[3-(1H-imidazol-1-yl)-5-(4-morpholinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 252928-42-0 CAPLUS  
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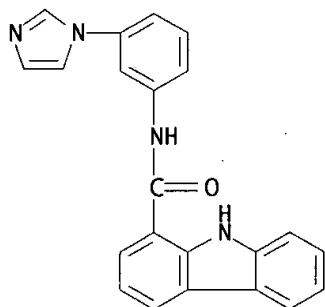


RN 252928-43-1 CAPLUS  
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RN 252928-51-1 CAPLUS  
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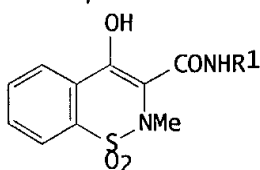


● HCl

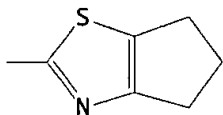
L30 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1986:50888 CAPLUS  
 DOCUMENT NUMBER: 104:50888  
 TITLE: Benzothiazinecarboxamides with antiarthritic activity  
 INVENTOR(S): Uhlendorf, Joachim; Leyck, Sigurd  
 PATENT ASSIGNEE(S): Nattermann, A., und Cie G.m.b.H., Fed. Rep. Ger.  
 SOURCE: Ger. Offen., 14 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3407505	A1	19850905	DE 1984-3407505	19840301
PRIORITY APPLN. INFO.:			DE 1984-3407505	19840301
OTHER SOURCE(S):		CASREACT 104:50888		

GI



I



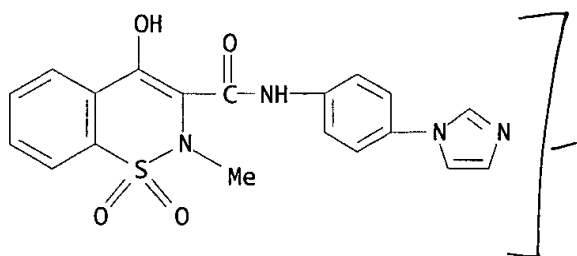
II

AB Antiarthritic (no data) 2H-1,2-benzothiazine-3-carboxamides I [R1 = (un)substituted arom. or partially satd. heterocyclyl] were prepd. Thus, 2.7 g Me 4-hydroxy-2-methyl-2H-1,2-benzothiazine-3-carboxylate 1,1-dioxide and 1.4 g 2-amino-4,5-trimethylenethiazole were refluxed in xylene to give 1.9 g carboxamide I (R1 = II).

IT **99804-52-1P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of, as antiarthritic)

RN 99804-52-1 CAPLUS

CN 2H-1,2-Benzothiazine-3-carboxamide, 4-hydroxy-N-[4-(1H-imidazol-1-yl)phenyl]-2-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



this is a falso  
drop, no good